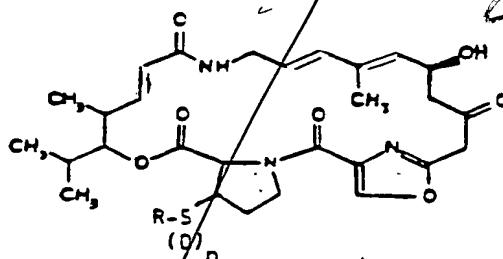


WE CLAIM:

1. A pristinamycin II<sub>B</sub> of the formula:



in which R denotes

- either a nitrogen-containing 4 to 7-membered heterocyclic ring radical which may contain 1 or more other hetero atoms chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl,

- or alkyl of 2 to 4 carbon atoms substituted by 1 or 2 radicals chosen from phenyl, cycloalkylamino of 3 to 6 ring atoms, N-alkyl-N-cycloalkylamino of 3 to 6 ring atoms, alkylamino, dialkylamino, and dialkylcarbamoxyloxy, the alkyl moieties of the said dialkylamino and dialkylcarbamoxyloxy radicals being unjoined or joined to form, with the nitrogen atom to which they are attached, a saturated or unsaturated 4 to 7-membered heterocyclic ring which may contain another hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, or alkyl of 2 to 4 carbon atoms substituted by one or more nitrogen-containing 4 to 7-membered heterocyclic rings which may contain 1 or 2 other hetero atoms chosen from nitrogen, oxygen and sulphur in

the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, these heterocyclic rings being linked to the alkyl by a carbon atom of the ring, at least one of the substituents carried by the said alkyl being a nitrogen-containing substituent capable of forming salts, 5 n is 1 or 2 and, unless stated otherwise, the abovementioned alkyl radicals are linear or branched and contain 1 to 10 carbon atoms each, in its isomeric forms or their mixtures, and its acid addition salts.

2. A pristinamycin II<sub>B</sub> according to claim 1 wherein R 10 denotes:

- either a nitrogen-containing 5 or 6-membered heterocyclic ring radical which is unsubstituted or substituted by alkyl,
- or alkyl of 2 to 4 carbon atoms substituted by 1 or 2 15 radicals chosen from phenyl, cycloalkylamino of 3 to 6 ring atoms, N-alkyl-N-cycloalkylamino of 3 to 6 ring atoms, alkylamino, dialkylamino and dialkylcarbamoyloxy the alkyl moieties of the said dialkylamino and dialkylcarbamoyloxy radicals being unjoined or joined to form, with the nitrogen atom 20 to which they are attached, a saturated or unsaturated 5 or 6-membered heterocyclic ring which may contain another hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone, and unsubstituted or substituted by alkyl, or alkyl of 2 to 4 carbon atoms 25 substituted by a nitrogen-containing 5 or 6-membered heterocyclic ring which may contain another hetero atom chosen from nitrogen, oxygen and sulphur in the form of sulphoxide or sulphone and unsubstituted or substituted by

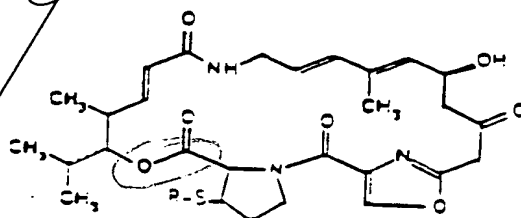
alkyl, this heterocyclic ring being linked to the alkyl by a carbon atom of the ring, at least one of the substituents carried by the said alkyl chain being a nitrogen-containing substituent capable of forming salts, n is 1 or 2 and, unless stated otherwise, the abovementioned alkyl

5 radicals are linear or branched and contain 1 to 10 carbon atoms each, in its isomeric forms or their mixtures, and its acid addition salts.

3. A pristinamycin II<sub>B</sub> according to claim 1, wherein R denotes alkyl of 2 to 4 carbon atoms substituted by 1  
10 or 2 radicals chosen from phenyl, cycloalkylamino of 5 or 6 ring atoms, N-alkyl-N-cycloalkylamino of 5 or 6 ring atoms, alkylamino of 1 to 4 carbon atoms, or dialkylamino in which each alkyl is of 1 to 3 carbon atoms or the alkyls form, with the nitrogen atom to which they are attached, a satu-  
15 rated 5 or 6-membered heterocyclic ring, or R denotes a nitrogen-containing 5 or 6-membered heterocyclic ring unsubstituted or substituted by alkyl of 1 to 4 carbon atoms, at least one of the substituents carried by the said alkyl being a nitrogen-containing substituent capable  
20 of forming salts and at least one of the radicals carried by this chain is in a 1- or a 2- position, in its isomeric forms and their mixtures, and its acid addition salts.

4. A pristinamycin II<sub>B</sub> according to claim 1 which is 26-(2-diethylamino-1-methylethyl)sulphonylpristinamycin  
25 II<sub>B</sub>, its isomeric forms and their mixtures, and its acid addition salts.

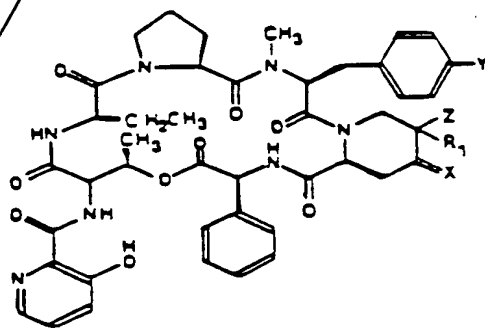
5. A pristinamycin II<sub>B</sub> according to claim 1 which is 26- [(2R)2-dimethylaminobutyl] sulphanylpristinamycin II<sub>B</sub>, its isomeric forms and their mixtures, and its acid addition salts.
- 5 6. A pristinamycin II<sub>B</sub> according to claim 1 which is 26-(2-diethylaminopropyl)sulphonylpristinamycin II<sub>B</sub>, its isomeric forms and their mixtures, and its acid addition salts.
7. A pristinamycin II<sub>B</sub> according to claim 1 which is 10 26-(2-diisopropylaminoethyl)sulphonylpristinamycin II<sub>B</sub>, its isomeric forms and their mixtures, and its acid addition salts.
8. A process for the preparation of a pristinamycin II<sub>B</sub> according to claim 1, which comprises oxidizing a 15 pristinamycin II<sub>B</sub>, or a salt or protected derivative thereof, of the formula:



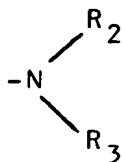
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in which R is defined as in claim 1, and where R contains a sulphur-containing heterocyclic ring, the sulphur atom can be in the form of sulphide, sulfoxide or sulphone, separating the product obtained, if appropriate, into its 25 isomers, removing the protective radical when present and optionally converting the product obtained into an acid addition salt.

9. A process according to claim 8, wherein a product in which  $n = 1$  is required and the oxidizing agent used is a percarboxylic or persulphonic acid or an inorganic peracid.
10. A process according to claim 8, wherein a product in which  $n = 2$  is required and the oxidizing agent used is selenium dioxide in the presence of hydrogen peroxide or a peracid.
11. A process for the preparation of a pristinamycin  $II_B$  according to claim 1 in which  $n = 2$ , which comprises oxidizing a pristinamycin  $II_B$  according to claim 1 in which  $n = 1$ , and separating the product obtained, if appropriate, into its isomers and optionally converting the product obtained into an acid addition salt.
12. A pharmaceutical composition which contains a pristinamycin  $II_B$  according to claim 1 in combination with a known synergistin or a soluble synergistin of formula:



- in which Y denotes a hydrogen atom or a dimethylamino radical and
- 1) either --- denotes a single bond, Z and  $R_1$  denote a hydrogen atom and X denotes a radical of formula:



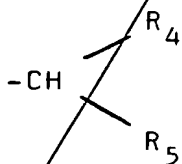
in which:

- 5 - either  $\text{R}_2$  denotes a hydrogen atom and  $\text{R}_3$  denotes a hydroxy or alkyl radical unsubstituted or substituted by a carboxy, alkyloxycarbonyl, hydroxy, alkylamino or dialkyl amino radical whose alkyl radicals can form, with the nitrogen atom to which they are attached, a 4 to 7-member heterocyclic ring chosen from azetidiny, pyrrolidinyl, piperidinyl, piperazinyl, N-alkylpiperazinyl and azepiny, or  $\text{R}_3$  denotes a cycloalkyl radical containing 3 to 7 carbon atoms or a saturated 4 to 7-membered heterocyclic ring chosen from the azetidine, pyrrolidine, piperidine and azepine rings, these heterocyclic rings being unsubstituted or substituted by an alkyl radical on the nitrogen atom,
- or  $\text{R}_2$  denotes a formyl or alkylcarbonyl radical and  $\text{R}_3$  denotes an alkyl radical substituted by a carboxy, alkyl- amino or dialkylamino radical whose alkyl radicals can form, with the nitrogen atom to which they are attached a 4 to 7-membered heterocyclic ring chosen from azetidiny, pyrrolidinyl, piperidinyl, piperazinyl, N-alkylpiperazinyl and azepiny, or  $\text{R}_3$  denotes a 4 to 7-membered heterocyclic ring chosen from azetidine, pyrrolidine, piperidine and azepine, these heterocyclic rings being unsubstituted or substituted by an alkyl radical on the nitrogen atom,

- or  $R_2$  and  $R_3$ , which are identical or different, each denote an alkyl radical which is unsubstituted or substituted by carboxy, alkyloxycarbonyl, hydroxy, alkylamino or dialkylamino whose alkyl radicals optionally form, with the nitrogen atom to which they are attached, a 4 to 7-membered heterocyclic ring chosen from azetidiny, pyrrolidiny, piperidiny, piperaziny, N-alkylpiperaziny and azepiny - or  $R_2$  and  $R_3$  form, together with the nitrogen atom to which they are attached, a 4 to 7-membered heterocyclic ring chosen from the azetidine, pyrrolidine, piperidine, morpholine and piperazine rings, optionally substituted by an alkyl radical,

2) or --- denotes a double bond, X denotes an oxygen atom and Z denotes a radical of formula:

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in which:

a) either  $R_1$  and  $R_5$  each denote a hydrogen atom and  $R_4$  denotes a 3-pyrrolidinythio or 3- or 4-piperidylthio radical (these radicals being optionally substituted by an alkyl radical) or  $R_4$  denotes an alkylthio radical substituted by one or two hydroxysulphonyl, alkylamino or dialkylamino (optionally substituted by a mercapto or dialkylamino radical) radicals or by one or two rings chosen from piperazino (optionally substituted by an alkyl or

mercaptoalkyl radical), morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2, 3 or 4-piperidyl and 2- or 3-pyrrolidinyl (these last two rings being optionally substituted by an alkyl radical on the nitrogen atom),

5 b) or R<sub>1</sub> and R<sub>5</sub> together form a valency bond and R<sub>4</sub> denotes a 3-pyrrolidinylamino, 3- or 4-piperidylamino, 3-pyrrolidinylloxy, 3- or 4-piperidylloxy, 3-pyrrolidinylthio, 3- or 4-piperidylthio radical (these radicals being optionally substituted by an alkyl radical on the nitrogen

10 atom in the ring), or R<sub>4</sub> denotes an alkylamino, alkyloxy or alkylthio radical substituted by one or two hydroxysulphonyl, alkylamino, dialkylamino (optionally substituted by a dialkylamino radical), trialkylammonio or 4- or 5-imidazolyl radicals, or by one or two rings chosen from

15 piperazino (optionally substituted by an alkyl or mercaptoalkyl radical), morpholino, thiomorpholino, piperidino, 1-pyrrolidinyl, 2, 3 or 4-piperidyl and 2- or 3-pyrrolidinyl (these two latter rings being optionally substituted by an alkyl radical on the nitrogen atom), it being understood that the alkyl radicals and alkyl moieties referred

20 to in the symbols defined above contain 1 to 5 carbon atoms and form a linear or branched chain, if appropriate in the form of one of its isomers or their mixtures, and optionally in the form of an acid addition salt, a metal

25 salt or an addition salt with a nitrogen-containing organic base.



*a* <sup>8</sup> 13. A pharmaceutical composition according to claim <sup>7</sup> ~~12~~ which also contains a compatible pharmaceutically acceptable carrier and /or adjuvant.

*a* <sup>9</sup> 14. A pharmaceutical composition comprising an effective amount of a pristinamycin II<sub>B</sub> according to claim <sup>7</sup> ~~12~~ in association with a compatible pharmaceutically acceptable carrier and/or adjuvant.

*a* <sup>10</sup> 15. Method of controlling bacterial growth which comprises exposing said bacteria to the effect of a pristinamycin II<sub>B</sub> according to claim <sup>7</sup> ~~12~~ in sufficient concentration to control said bacteria.

*End* →

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